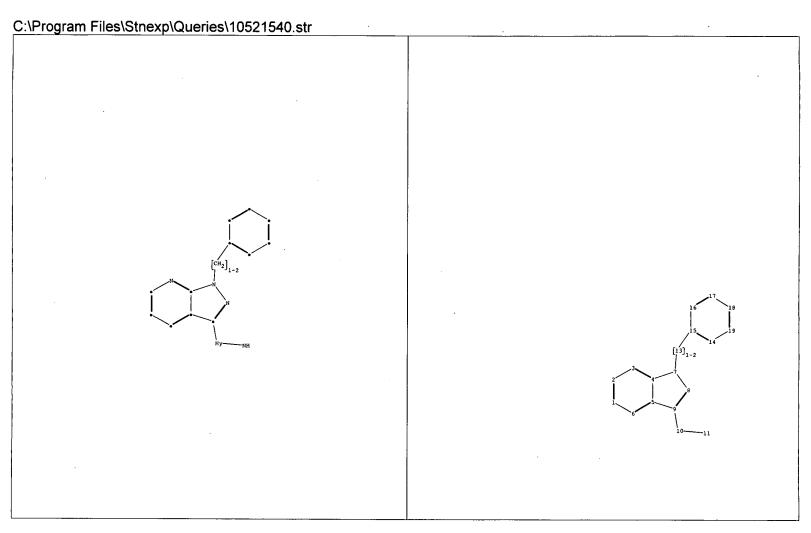
EAST Search History

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7/31/2007 10:45:19 PM Page 1



chain nodes :

10 11 13

ring nodes:

1 2 3 4 5 6 7 8 9 14 15 16 17 18 19

chain bonds:

7-13 9-10 10-11 13-15

ring bonds:

1-2 1-6 2-3 3-4 4-5 4-7 5-6 5-9 7-8 8-9 14-15 14-19 15-16 16-17 17-18 18-19

exact/norm bonds :

4-7 7-8 8-9 9-10 10-11

exact bonds:

5-9 7-13 13-15

normalized bonds:

1-2 1-6 2-3 3-4 4-5 5-6 14-15 14-19 15-16 16-17 17-18 18-19

isolated ring systems:

containing 1: 14:

Match level:

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:CLAS\$13:CLAS\$14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom

Generic attributes:

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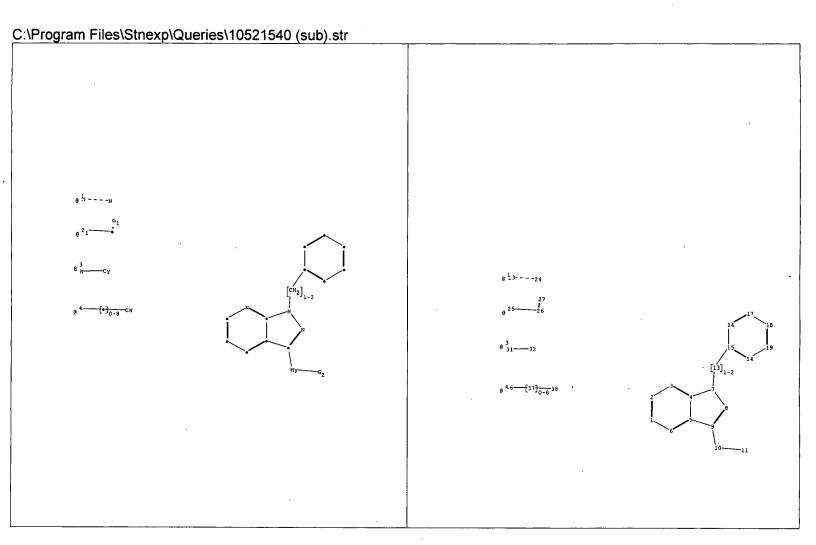
Saturation : Unsaturated

Number of Carbon Atoms: less than 7 Number of Hetero Atoms: 2 or more Type of Ring System: Monocyclic Element Count : .

Node 10: Limited

C,C4 N,N2

0,00 S,S0



chain nodes:

10 11 13 23 24 25 26 27 31 32 36 37 38

ring nodes:

1 2 3 4 5 6 7 8 9 14 15 16 17 18 19

chain bonds :

7-13 9-10 10-11 13-15 23-24 25-26 26-27 31-32 36-37 37-38

ring bonds:

1-2 1-6 2-3 3-4 4-5 4-7 5-6 5-9 7-8 8-9 14-15 14-19 15-16 16-17 17-18 18-19

exact/norm bonds:

4-7 7-8 8-9 9-10 10-11 23-24 25-26 26-27 31-32

exact bonds:

5-9 7-13 13-15 36-37 37-38

normalized bonds:

1-2 1-6 2-3 3-4 4-5 5-6 14-15 14-19 15-16 16-17 17-18 18-19

isolated ring systems:

containing 1: 14:

G1:0,N

G2:SO2,Cy,[*1],[*2],[*3],[*4]

Match level:

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:CLAS\$13:CLAS\$14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom 23:CLAS\$24:CLAS\$25:CLAS\$26:CLAS\$27:CLAS\$31:CLAS\$32:Atom 36:CLAS\$37:CLAS\$38:CLAS\$

Generic attributes:

10:

Saturation

: Unsaturated

Number of Carbon Atoms: less than 7 Number of Hetero Atoms: 2 or more Type of Ring System: Monocyclic

Element Count:

Node 10: Limited

C,C4

N,N2

0,00

S,S0

=>

0,00 S,S0

Uploading C:\Program Files\Stnexp\Queries\10521540.str chain nodes : 10 11 13 ring nodes : 1 2 3 4 5 6 7 8 9 14 15 16 17 18 19 chain bonds : 7-13 9-10 10-11 13-15 ring bonds : 1-2 1-6 2-3 3-4 4-5 4-7 5-6 5-9 7-8 8-9 14-15 14-19 15-16 16-17 17-1818-19 exact/norm bonds : 4-7 7-8 8-9 9-10 10-11 exact bonds : 5-9 7-13 13-15 normalized bonds : 1-2 1-6 2-3 3-4 4-5 5-6 14-15 14-19 15-16 16-17 17-18 18-19 isolated ring systems : containing 1 : 14 : Match level : 1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:CLASS 13:CLASS 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom Generic attributes : 10: Saturation : Unsaturated Number of Carbon Atoms : less than 7 Number of Hetero Atoms : 2 or more Type of Ring System : Monocyclic Element Count : Node 10: Limited C,C4 N,N2

L1 STRUCTURE UPLOADED

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L1 HAS NO ANSWERS

L1 STR

Structure attributes must be viewed using STN Express guery preparation.

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SAMPLE SCREEN SEARCH COMPLETED - 232 TO ITERATE

100.0% PROCESSED 232 ITERATIONS

11 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS: 3727 TO 5553

PROJECTED ANSWERS: 22 TO 418

L2 11 SEA SSS SAM L1

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FULL SCREEN SEARCH COMPLETED - 4152 TO ITERATE

100.0% PROCESSED 4152 ITERATIONS 223 ANSWERS

SEARCH TIME: 00.00.01

L3 223 SEA SSS FUL L1

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L4 92 L3

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chain nodes :
10 11 13 23 24 25 26 27 31 32 36 37
ring nodes :
1 2 3 4 5 6 7 8 9 14 15 16 17 18
chain bonds :
7-13 9-10 10-11 13-15 23-24 25-26 26-27 31-32 36-37 37-38
ring bonds :
1-2 \quad 1-6 \quad 2-3 \quad 3-4 \quad 4-5 \quad 4-7 \quad 5-6 \quad 5-9 \quad 7-8 \quad 8-9 \quad 14-15 \quad 14-19 \quad 15-16 \quad 16-17 \quad 17-18
 18-19
exact/norm bonds :
4-7 7-8 8-9 9-10 10-11 23-24 25-26 26-27 31-32
exact bonds :
5-9 7-13 13-15 36-37 37-38
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6 14-15 14-19 15-16 16-17 17-18 18-19
isolated ring systems :
containing 1 : 14 :
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G1:0, N

G2:SO2,Cy,[*1],[*2],[*3],[*4]

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:CLASS 13:CLASS 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom 23:CLASS 24:CLASS 25:CLASS 26:CLASS 27:CLASS 31:CLASS 32:Atom 36:CLASS 37:CLASS 38:CLASS

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Generic attributes :
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Saturation
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Number of Carbon Atoms : less than 7
Number of Hetero Atoms : 2 or more
Type of Ring System : Monocyclic
Element Count :
Node 10: Limited
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    N, N2
    0,00
    S,S0
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Structure attributes must be viewed using STN Express query preparation.
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                      11 ITERATIONS
                                                               8 ANSWERS
SEARCH TIME: 00.00.02
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                                                ONLINE **COMPLETE**
PROJECTED ITERATIONS (WITHIN SPECIFIED SUBSET):
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PROJECTED ANSWERS (WITHIN SPECIFIED SUBSET):
                                                        8 TO
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L6
            8 SEA SUB=L3 SSS SAM L5
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L9
           14 L8
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L9
     ANSWER 1 OF 14 CAPLUS COPYRIGHT 2007 ACS on STN
ΑN
     2005:451231 CAPLUS
DN
     142:476243
ΤI
     Soluble quanylate cyclase stimulator combination with a lipid-lowering
     substance, and therapeutic use
ΙN
     Bischoff, Hilmar; Stasch, Johannes-Peter; Weigand, Stefan
PA
     Bayer Healthcare A.-G., Germany
SO
     POT Int. Appl., 47 pp.
     CODEN:\ PIXXD2
DT
     Patent
LA
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     PATENT NO.
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PRAI DE 2003-10351903
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     WO 2004-EP12049
                           W
                                 20041026
OS
     MARPAT 142:476243
AB
     The invention relates to a combination preparation containing at least one
active
     substance (A) and at least one active substance (B), as pharmaceutically
     active ingredients. Active component (A) is a direct stimulator of the
     soluble guanylate cyclase of formula I [R1 = NR3C(0)OR4; R2 - H, NH2; R3 = H,
     Cl-4 alkyl; R4 = C1-6 alkyl] and active component (B) is a lipid-lowering
                 The combination of the invention may be used in the treatment
     of e.g. cardiovascular diseases. Compound preparation is included.
ΙŤ
     428854-24-4P
     RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
     (Reactant or reagent)
        (soluble guanylate cyclase stimulator combination with lipid-lowering
        substance)
RN
     428854-24-4 CAPLUS
```

4,5,6-Pyrimidinetriamine, 2-[1-[(2-fluorophenyl)methyl]-1H-pyrazolo[3,4-

b]pyridin-3-yl]- (9CI) (CA INDEX NAME)

CN

RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

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· L9
     ANSWER 2 OF 14 CAPLUS COPYRIGHT 2007 ACS on STN
     2004:80687
ΑN
                 CAPLUS
     140:146158
DN
ΤI
     Preparation of pyrazolopyridinylpyrimidinamines as CNS agents.
ΙN
     Feurer, Achim; Luithle, Joachim; Wirtz, Stephan-nicholas; Koenig, Gerhard;
     Stasch, Johannes-peter; Stahl, Elke; Schreiber, Rudy; Wunder, Frank; Lang,
     Dieter
PA
     Bayer Healthcare Ag, Germany
     PCT Int. Appl., 54 pp.
SO
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                                                                      DATE
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                                 20020718
     WO 2003-EP7236
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                                 20030707
OS
     MARPAT 140:146158
AB
     Title compds. [I; R1 = H, F; R2 = (alkoxy-, cycloalkyl-, aryl-,
     heteroaryl-substituted) alkyl], were prepared for treatment of perception,
     concentration, learning, and memory disorders (no data). Thus,
     1-(2-fluorobenzyl)-1H-pyrazolo[3,4-b]pyridine-3-carboximidamide (preparation
     given) was refluxed 32 h with Me 3-oxobutanoate in PhMe to give 72%
     2-[1-(2-fluorobenzyl)-1H-pyrazolo[3,4-b]pyridin-3-yl]-6-methyl-4-
     pyrimidinol. The latter was heated with POC13 at 100° to give 77%
     3-(4-chloro-6-methyl-2-pyrimidinyl)-1-(2-fluorobenzyl)-1H-pyrazolo[3,4-
     b]pyridine. Treatment of this with 3-ethoxypropylamine in Me2SO at
     60° for 48 h gave N-[3-(ethoxy)propyl]-2-[1-[(2-
     fluorophenyl)methyl]-1H-pyrazolo[3,4-b]pyridin-3-yl]-6-methyl-4-
     pyrimidinamine.
ΙT
     651347-48-7P 651347-50-1P 651347-52-3P
     651347-54-5P 651347-56-7P 651347-58-9P
     651347-60-3P 651347-62-5P 651347-64-7P
     651347-66-9P 651347-68-1P 651347-70-5P
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651348-09-3P 651348-11-7P 651348-13-9P 651348-14-0P 651348-15-1P 651348-16-2P 651348-17-3P 651348-19-5P 651348-20-8P 651348-22-0P 651348-24-2P 651348-26-4P 651348-28-6P RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of pyrazolopyridinylpyrimidinamines as CNS agents) 651347-48-7 CAPLUS

4-Pyrimidinamine, N-(3-ethoxypropyl)-2-[1-[(2-fluorophenyl)methyl]-1H-

pyrazolo[3,4-b]pyridin-3-yl]-6-methyl- (9CI) (CA INDEX NAME)

CH2
N
N
N
N
NH- (CH2) 3-OEt
Me

RN CN

RN 651347-50-1 CAPLUS
CN 4-Pyrimidinamine, 2-[1-[(2-fluorophenyl)methyl]-1H-pyrazolo[3,4-b]pyridin-3-yl]-6-methyl-N-(2-phenylethyl)- (9CI) (CA INDEX NAME)

RN 651347-52-3 CAPLUS
CN 4-Pyrimidinamine, 2-[1-[(2-fluorophenyl)methyl]-1H-pyrazolo[3,4-b]pyridin-3-yl]-6-methyl-N-(2-methylbutyl)- (9CI) (CA INDEX NAME)

RN 651347-54-5 CAPLUS

CN 4-Pyrimidinamine, N-(cyclopropylmethyl)-2-[1-[(2-fluorophenyl)methyl]-1H-pyrazolo[3,4-b]pyridin-3-yl]-6-methyl- (9CI) (CA INDEX NAME)

RN 651347-56-7 CAPLUS

CN 4-Pyrimidinamine, 2-[1-[(2-fluorophenyl)methyl]-1H-pyrazolo[3,4-b]pyridin-3-yl]-N-[(2-methoxyphenyl)methyl]-6-methyl- (9CI) (CA INDEX NAME)

RN 651347-58-9 CAPLUS

CN 4-Pyrimidinamine, 2-[1-[(2-fluorophenyl)methyl]-1H-pyrazolo[3,4-b]pyridin-3-yl]-N-[(4-methoxyphenyl)methyl]-6-methyl- (9CI) (CA INDEX NAME)

RN 651347-60-3 CAPLUS

CN 4-Pyrimidinamine, 2-[1-[(2-fluorophenyl)methyl]-1H-pyrazolo[3,4-b]pyridin-3-yl]-6-methyl-N-(2-thienylmethyl)- (9CI) (CA INDEX NAME)

RN 651347-62-5 CAPLUS

CN 4-Pyrimidinamine, 2-[1-[(2-fluorophenyl)methyl]-1H-pyrazolo[3,4-b]pyridin-3-yl]-6-methyl-N-[2-[4-(trifluoromethoxy)phenyl]ethyl]- (9CI) (CA INDEX NAME)

RN 651347-64-7 CAPLUS

CN 4-Pyrimidinamine, N-[2-(2-fluorophenyl)ethyl]-2-[1-[(2-fluorophenyl)methyl]-1H-pyrazolo[3,4-b]pyridin-3-yl]-6-methyl- (9CI) (CA INDEX NAME)

RN 651347-66-9 CAPLUS

CN 4-Pyrimidinamine, N-[2-(3-fluorophenyl)ethyl]-2-[1-[(2-fluorophenyl)methyl]-1H-pyrazolo[3,4-b]pyridin-3-yl]-6-methyl- (9CI) (CAINDEX NAME)

RN 651347-68-1 CAPLUS

CN 4-Pyrimidinamine, 2-[1-[(2-fluorophenyl)methyl]-1H-pyrazolo[3,4-b]pyridin-3-yl]-N-(3-methoxybutyl)-6-methyl- (9CI) (CA INDEX NAME)

RN 651347-70-5 CAPLUS

CN 4-Pyrimidinamine, N-(3-ethoxybutyl)-2-[1-[(2-fluorophenyl)methyl]-1H-pyrazolo[3,4-b]pyridin-3-yl]-6-methyl- (9CI) (CA INDEX NAME)

RN 651347-72-7 CAPLUS

CN 4-Pyrimidinamine, 2-[1-[(2-fluorophenyl)methyl]-1H-pyrazolo[3,4-b]pyridin-3-yl]-6-methyl-N-[3-(1-methylethoxy)propyl]- (9CI) (CA INDEX NAME)

RN 651347-74-9 CAPLUS

CN 4-Pyrimidinamine, N-[(4-fluorophenyl)methyl]-2-[1-[(2-fluorophenyl)methyl]-1H-pyrazolo[3,4-b]pyridin-3-yl]-6-methyl- (9CI) (CA INDEX NAME)

RN 651347-76-1 CAPLUS

CN 4-Pyrimidinamine, N-[(3-fluorophenyl)methyl]-2-[1-[(2-fluorophenyl)methyl]-1H-pyrazolo[3,4-b]pyridin-3-yl]-6-methyl- (9CI) (CA INDEX NAME)

RN 651347-78-3 CAPLUS

CN 4-Pyrimidinamine, 2-[1-[(2-fluorophenyl)methyl]-1H-pyrazolo[3,4-b]pyridin-3-yl]-6-methyl-N-[[4-(trifluoromethoxy)phenyl]methyl]- (9CI) (CA INDEX NAME)

RN 651347-80-7 CAPLUS

CN 4-Pyrimidinamine, N-[(2,4-difluorophenyl)methyl]-2-[1-[(2-fluorophenyl)methyl]-1H-pyrazolo[3,4-b]pyridin-3-yl]-6-methyl- (9CI) (CA INDEX NAME)

RN 651347-82-9 CAPLUS

CN 4-Pyrimidinamine, N-[(2-fluorophenyl)methyl]-2-[1-[(2-fluorophenyl)methyl]-1H-pyrazolo[3,4-b]pyridin-3-yl]-6-methyl- (9CI) (CA INDEX NAME)

RN 651347-84-1 CAPLUS

CN 4-Pyrimidinamine, 2-[1-[(2-fluorophenyl)methyl]-1H-pyrazolo[3,4-b]pyridin-3-yl]-6-methyl-N-(3-methylbutyl)- (9CI) (CA INDEX NAME)

RN 651347-86-3 CAPLUS

CN 4-Pyrimidinamine, N-[(3,4-difluorophenyl)methyl]-2-[1-[(2-fluorophenyl)methyl]-1H-pyrazolo[3,4-b]pyridin-3-yl]-6-methyl- (9CI) (CA INDEX NAME)

RN 651347-88-5 CAPLUS

CN 4-Pyrimidinamine, N-[(2-chloro-6-fluorophenyl)methyl]-2-[1-[(2-fluorophenyl)methyl]-1H-pyrazolo[3,4-b]pyridin-3-yl]-6-methyl- (9CI) (CA INDEX NAME)

RN 651347-90-9 CAPLUS

CN: 4-Pyrimidinamine, N-[(2,6-difluorophenyl)methyl]-2-[1-[(2-fluorophenyl)methyl]-1H-pyrazolo[3,4-b]pyridin-3-yl]-6-methyl- (9CI) (CA INDEX NAME)

RN 651347-92-1 CAPLUS

CN 4-Pyrimidinamine, N-[(3,5-dimethoxyphenyl)methyl]-2-[1-[(2-fluorophenyl)methyl]-1H-pyrazolo[3,4-b]pyridin-3-yl]-6-methyl- (9CI) (CA INDEX NAME)

RN 651347-94-3 CAPLUS
CN 4-Pyrimidinamine, N-[(3,5-difluorophenyl)methyl]-2-[1-[(2-fluorophenyl)methyl]-1H-pyrazolo[3,4-b]pyridin-3-yl]-6-methyl- (9CI) (CAINDEX NAME)

RN 651347-96-5 CAPLUS
CN 4-Pyrimidinamine, N-(3-ethoxypropyl)-5-fluoro-2-[1-[(2-fluorophenyl)methyl]-1H-pyrazolo[3,4-b]pyridin-3-yl]-6-methyl- (9CI) (CA INDEX NAME)

RN 651347-99-8 CAPLUS

CN 4-Pyrimidinamine, 5-fluoro-2-[1-[(2-fluorophenyl)methyl]-1H-pyrazolo[3,4-b]pyridin-3-yl]-6-methyl-N-(2-phenylethyl)- (9CI) (CA INDEX NAME)

RN 651348-01-5 CAPLUS

CN 4-Pyrimidinamine, 5-fluoro-2-[1-[(2-fluorophenyl)methyl]-1H-pyrazolo[3,4-b]pyridin-3-yl]-6-methyl-N-(2-methylbutyl)- (9CI) (CA INDEX NAME)

RN 651348-03-7 CAPLUS

CN 4-Pyrimidinamine, N-(cyclopropylmethyl)-5-fluoro-2-[1-[(2-fluorophenyl)methyl]-1H-pyrazolo[3,4-b]pyridin-3-yl]-6-methyl- (9CI) (CAINDEX NAME)

RN 651348-05-9 CAPLUS

CN 4-Pyrimidinamine, 5-fluoro-2-[1-[(2-fluorophenyl)methyl]-1H-pyrazolo[3,4-b]pyridin-3-yl]-N-[(2-methoxyphenyl)methyl]-6-methyl- (9CI) (CA INDEX NAME)

RN 651348-07-1 CAPLUS

CN 4-Pyrimidinamine, 5-fluoro-2-[1-[(2-fluorophenyl)methyl]-1H-pyrazolo[3,4-b]pyridin-3-yl]-N-[(4-methoxyphenyl)methyl]-6-methyl- (9CI) (CA INDEX NAME)

RN 651348-09-3 CAPLUS

CN 4-Pyrimidinamine, 5-fluoro-2-[1-[(2-fluorophenyl)methyl]-1H-pyrazolo[3,4-b]pyridin-3-yl]-6-methyl-N-(2-thienylmethyl)- (9CI) (CA INDEX NAME)

RN 651348-11-7 CAPLUS

CN 4-Pyrimidinamine, 5-fluoro-N-[2-(2-fluorophenyl)ethyl]-2-[1-[(2-fluorophenyl)methyl]-1H-pyrazolo[3,4-b]pyridin-3-yl]-6-methyl- (9CI) (CAINDEX NAME)

RN 651348-13-9 CAPLUS

CN 4-Pyrimidinamine, 5-fluoro-N-[2-(3-fluorophenyl)ethyl]-2-[1-[(2-fluorophenyl)methyl]-1H-pyrazolo[3,4-b]pyridin-3-yl]-6-methyl- (9CI) (CAINDEX NAME)

RN 651348-14-0 CAPLUS

CN 4-Pyrimidinamine, 5-fluoro-2-[1-[(2-fluorophenyl)methyl]-1H-pyrazolo[3,4-b]pyridin-3-yl]-N-(3-methoxybutyl)-6-methyl- (9CI) (CA INDEX NAME)

RN 651348-15-1 CAPLUS

CN 4-Pyrimidinamine, N-(3-ethoxybutyl)-5-fluoro-2-[1-[(2-fluorophenyl)methyl]-1H-pyrazolo[3,4-b]pyridin-3-yl]-6-methyl- (9CI) (CA INDEX NAME)

RN 651348-16-2 CAPLUS

CN 4-Pyrimidinamine, 5-fluoro-2-[1-[(2-fluorophenyl)methyl]-1H-pyrazolo[3,4-b]pyridin-3-yl]-6-methyl-N-[3-(1-methylethoxy)propyl]- (9CI) (CA INDEX NAME)

RN 651348-17-3 CAPLUS

CN 4-Pyrimidinamine, 5-fluoro-N-[(4-fluorophenyl)methyl]-2-[1-[(2-fluorophenyl)methyl]-1H-pyrazolo[3,4-b]pyridin-3-yl]-6-methyl- (9CI) (CA INDEX NAME)

RN 651348-19-5 CAPLUS
CN 4-Pyrimidinamine, 5-fluoro-N-[(3-fluorophenyl)methyl]-2-[1-[(2-fluorophenyl)methyl]-1H-pyrazolo[3,4-b]pyridin-3-yl]-6-methyl- (9CI) (CAINDEX NAME)

RN 651348-20-8 CAPLUS
CN 4-Pyrimidinamine, 5-fluoro-N-[(2-fluorophenyl)methyl]-2-[1-[(2-fluorophenyl)methyl]-1H-pyrazolo[3,4-b]pyridin-3-yl]-6-methyl- (9CI) (CAINDEX NAME)

RN 651348-22-0 CAPLUS

CN 4-Pyrimidinamine, 5-fluoro-2-[1-[(2-fluorophenyl)methyl]-1H-pyrazolo[3,4-b]pyridin-3-yl]-6-methyl-N-(3-methylbutyl)- (9CI) (CA INDEX NAME)

RN 651348-24-2 CAPLUS

CN 4-Pyrimidinamine, N-[(2,6-difluorophenyl)methyl]-5-fluoro-2-[1-[(2-fluorophenyl)methyl]-1H-pyrazolo[3,4-b]pyridin-3-yl]-6-methyl- (9CI) (CA INDEX NAME)

RN 651348-26-4 CAPLUS

CN 4-Pyrimidinamine, N-[(3,5-dimethoxyphenyl)methyl]-5-fluoro-2-[1-[(2-fluorophenyl)methyl]-1H-pyrazolo[3,4-b]pyridin-3-yl]-6-methyl- (9CI) (CA INDEX NAME)

RN 651348-28-6 CAPLUS

CN 4-Pyrimidinamine, N-[(3,5-difluorophenyl)methyl]-5-fluoro-2-[1-[(2-fluorophenyl)methyl]-1H-pyrazolo[3,4-b]pyridin-3-yl]-6-methyl- (9CI) (CA INDEX NAME)

RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

```
L9
     ANSWER 3 OF 14 CAPLUS COPYRIGHT 2007 ACS on STN
ΑN
     2003:913163 CAPLUS
     139:395943
DN
TΙ
     Preparation of [(pyrazolopyridinyl)pyrimidinyl]carbamates stimulating
     soluble guanylate cyclase for treating cardiovascular diseases and/or
     sexual dysfunction
IN
     Alonso-alija, Cristina; Bischoff, Erwin; Muenter, Klaus; Stasch,
     Johannes-Peter; Stahl, Elke; Weigand, Stefan; Feurer, Achim
PΑ
     Bayer Aktiengesellschaft, Germany
SO
     PCT Int. Appl., 59 pp.
                                                                 Common In
     CODEN: PIXXD2
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LA
     German
FAN.CNT 1
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                         KIND
                                 DATE
                                             APPLICATION NO.
PΤ
     WO 2003095451
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             LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
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             FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,
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                          Α1
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     CA 2485143
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                                 20031120
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                                             MX 2004-PA11003
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                                20070206
PRAI DE 2002-10220570
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                                 20020508
     WO 2003-EP4304
                                20030425
OS
     MARPAT 139:395943
AB
     Title compds. [I; R1 = NR3C(O)OR4; R2 = H, amino; R3 = H, C1-4 alkyl; R4 =
     C1-6 alkyl], were prepared Thus, 2-[1-(2-fluorobenzyl)-1H-pyrazolo[3,4-
     b]pyridin-3-yl]-4,5,6-pyrimidinetriamine trihydrochloride (preparation given)
     in pyridine was stirred with ClCO2Me for 2 h at 0° followed by
     stirring for 12 h at room temperature to give 92% Me 4,6-diamino-2-[1-(2-
     fluorobenzyl)-1H-pyrazolo[3,4-b]pyridin-3-yl]-5-pyrimidinylcarbamate.
     Data for biol. activity of I [R1 = N(Me)CO2Me; R2 = H] and I [R1 =
     N(Me)CO2Me; R2 = NH2] were given.
ΙT
     428854-24-4P
     RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
     (Reactant or reagent)
        (preparation of [(pyrazolopyridinyl)pyrimidinyl]carbamates stimulating
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soluble

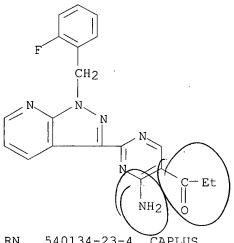
guanylate cyclase for treating cardiovascular diseases and/or sexual dysfunction)

RN 428854-24-4 CAPLUS

CN 4,5,6-Pyrimidinetriamine, 2-[1-[(2-fluorophenyl)methyl]-1H-pyrazolo[3,4-b]pyridin-3-yl]- (9CI) (CA INDEX NAME)

RE.CNT 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L9 ANSWER 4 OF 14 CAPLUS COPYRIGHT 2007 ACS on STN
- ΔN 2003:109219 CAPLUS
- DN 139:36499
- TТ Cyclopropyl building blocks in organic synthesis. 84. A new and productive route to 1-heteroarylcyclopropanols
- ΑU Belov, Vladimir N.; Savchenko, Andrei I.; Sokolov, Viktor V.; Straub, Alexander; de Meijere, Armin
- CS Institut fur Organische Chemie, Georg-August-Universitat Gottingen, Gottingen, 37077, Germany
- SO European Journal of Organic Chemistry (2003), (3), 551-561 CODEN: EJOCFK; ISSN: 1434-193X
- PB Wiley-VCH Verlag GmbH & Co. KGaA
- DT Journal
- LA English
- OS CASREACT 139:36499
- AΒ Methoxy[(alkoxy)cyclopropyl]propenenitrile derivs. were designed and prepared from Et cyclopropylidenacetate as a valuable precursor to various 1-heteroarylcyclopropanols. The key intermediates in this study included 3-methoxy-2-[1-[(4-methoxyphenyl)methoxy]cyclopropyl]-2-propenenitrile and 3-methoxy-2-[1-[(2-propenyl)oxy]cyclopropyl]-2-propenenitrile (I). Condensation of I with amidines, guanidine, hydrazine, and Me thioglycolate and subsequent removal of the allyl protecting group yields 1-heteroarylcyclopropanols such as 1-[4-amino-2-[1-[(2fluorophenyl)methyl]-1H-pyrazolo[3,4-b]pyridin-3-yl]-5pyrimidinyl]cyclopropanol (BAY 41-2272 metabolite II). II is a known very potent NO-independent stimulator of soluble quanylate cyclase. Direct cleavage of the allyl ether protecting group by palladium-catalyzed substitution with lithium p-toluenesulfinate in AcOH or treatment with cyclohexylmagnesium bromide/Ti(OiPr)4 gives highly functionalized, sterically congested 1-heteroarylcyclopropanols with intact amino and ester groups.
- ΙT 540134-20-1P 540134-23-4P
 - RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of [(amino)pyrimidinyl]cyclopropanol derivs. and analogs from methoxy[(alkoxy)cyclopropyl]propenenitrile derivs. as key intermediates)
- RN 540134-20-1 CAPLUS
- CN 1-Propanone, 1-[4-amino-2-[1-[(2-fluorophenyl)methyl]-1H-pyrazolo[3,4b]pyridin-3-yl]-5-pyrimidinyl]- (9CI) (CA INDEX NAME)



CAPLUS 540134-23-4

CN 1-Propanone, 1-[4-amino-2-[1-[(2-fluorophenyl)methyl]-1H-pyrazolo[3,4b]pyridin-3-yl]-5-pyrimidinyl]-3-ethoxy- (9CI) (CA INDEX NAME)

RE.CNT 53 THERE ARE 53 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

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ANSWER 5 OF 14 CAPLUS COPYRIGHT 2007 ACS on STN
L9
AN
     2002:865563 CAPLUS
DN
     137:353060
TI
     Preparation of pyrimidinylsulfonate-substituted pyrazolopyridines as
     inhibitors of cGMP degradation
ΙN
     Stasch, Johannes-Peter; Feurer, Achim; Weigand, Stefan; Stahl, Elke;
     Flubacher, Dietmar; Alonso-Alija, Cristina; Wunder, Frank; Lang, Dieter;
     Dembowsky, Klaus; Straub, Alexander; Perzborn, Elisabeth
PA
     Bayer AG, Germany
     Ger. Offen., 20 pp.
SO
     CODEN: GWXXBX
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     German
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                                             APPLICATION NO.
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         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,
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PRAI DE 2001-10122894
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     WO 2002-EP4733
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     US 2004-477446
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     MARPAT 137:353060
AB
     Title compds. [I; R1 = OSO2R3; R3 = (substituted) C1-6 alkyl, C3-8
     cycloalkyl, Ph; R2 = H, (substituted) alkylcarbonyl], were prepared Thus,
     4-amino-2-[1-(2-fluorobenzyl)-1H-pyrazolo[3,4-b]pyridin-3-yl]-5-
     pyrimidinol (preparation given) in pyridine was treated with
     chloromethanesulfonyl chloride followed by stirring over night at
     60° to give 77.3% 4-amino-2-[1-(fluorobenzyl)-1H-pyrazolo[3,4-
     b]pyridin-3-yl]-5-pyrimidinyl chloromethanesulfonate. The latter showed
     the vessel relaxation effect with IC50 = 700 nM.
IT
     474800-14-1P 474800-15-2P 474800-16-3P
     474800-17-4P 474800-18-5P 474800-19-6P
     474800-20-9P 474800-21-0P 474800-22-1P
     474800-23-2P
     RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
     (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
        (preparation of pyrimidinylsulfonate-substituted pyrazolopyridines as
        inhibitors of cGMP degradation)
RN
     474800-14-1 CAPLUS
```

CN Methanesulfonic acid, chloro-, 4-amino-2-[1-[(2-fluorophenyl)methyl]-1Hpyrazolo[3,4-b]pyridin-3-yl]-5-pyrimidinyl ester (9CI) (CA INDEX NAME)

474800-15-2 RNCAPLUS

5-Pyrimidinol, 4-amino-2-[1-[(2-fluorophenyl)methyl]-1H-pyrazolo[3,4-CN b]pyridin-3-yl]-, methanesulfonate (ester) (9CI) (CA INDEX NAME)

RN 474800-16-3 CAPLUS

CN Ethanesulfonic acid, 4-amino-2-[1-[(2-fluorophenyl)methyl]-1H-pyrazolo[3,4b]pyridin-3-yl]-5-pyrimidinyl ester (9CI) (CA INDEX NAME)

RN 474800-17-4 CAPLUS

CN Cyclopropanesulfonic acid, 4-amino-2-[1-[(2-fluorophenyl)methyl]-1H-pyrazolo[3,4-b]pyridin-3-yl]-5-pyrimidinyl ester (9CI) (CA INDEX NAME)

RN 474800-18-5 CAPLUS

CN 2-Propanesulfonic acid, 4-amino-2-[1-[(2-fluorophenyl)methyl]-1H-pyrazolo[3,4-b]pyridin-3-yl]-5-pyrimidinyl ester (9CI) (CA INDEX NAME)

RN 474800-19-6 CAPLUS

CN 1-Pentanesulfonic acid, 4-amino-2-[1-[(2-fluorophenyl)methyl]-1H-pyrazolo[3,4-b]pyridin-3-yl]-5-pyrimidinyl ester (9CI) (CA INDEX NAME)

RN 474800-20-9 CAPLUS

CN 1-Butanesulfonic acid, 4,4,4-trifluoro-, 4-amino-2-[1-[(2-fluorophenyl)methyl]-1H-pyrazolo[3,4-b]pyridin-3-yl]-5-pyrimidinyl ester (9CI) (CA INDEX NAME)

RN 474800-21-0 CAPLUS

CN 1-Butanesulfonic acid, 4-amino-2-[1-[(2-fluorophenyl)methyl]-1H-pyrazolo[3,4-b]pyridin-3-yl]-5-pyrimidinyl ester (9CI) (CA INDEX NAME)

RN 474800-22-1 CAPLUS

CN 1-Propanesulfonic acid, 4-amino-2-[1-[(2-fluorophenyl)methyl]-1H-pyrazolo[3,4-b]pyridin-3-yl]-5-pyrimidinyl ester (9CI) (CA INDEX NAME)

RN 474800-23-2 CAPLUS

CN 5-Pyrimidinol, 4-amino-2-[1-[(2-fluorophenyl)methyl]-1H-pyrazolo[3,4-b]pyridin-3-yl]-, benzenesulfonate (ester) (9CI) (CA INDEX NAME)

IT 344773-45-1P 426813-76-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of pyrimidinylsulfonate-substituted pyrazolopyridines as inhibitors of cGMP degradation)

RN 344773-45-1 CAPLUS

CN 4-Pyrimidinamine, 2-[1-[(2-fluorophenyl)methyl]-1H-pyrazolo[3,4-b].pyridin-3-yl]-5-methoxy- (9CI) (CA INDEX NAME)

RN 426813-76-5 CAPLUS CN

5-Pyrimidinol, 4-amino-2-[1-[(2-fluorophenyl)methyl]-1H-pyrazolo[3,4-b]pyridin-3-yl]- (9CI) (CA INDEX NAME)

10/521,540

- L9 ANSWER 6 OF 14 CAPLUS COPYRIGHT 2007 ACS on STN
- AN 2002:512251 CAPLUS
- DN 139:190571
- TI Metabolites of orally active NO-independent pyrazolopyridine stimulators of soluble guanylate cyclase. [Erratum to document cited in CA137:226160]
- AU Straub, Alexander; Benet-Buchholz, Jordi; Frode, Rita; Kern, Armin; Kohlsdorfer, Christian; Schmitt, Peter; Schwarz, Thomas; Siefert, Hans-Martin; Stasch, Johannes-Peter
- CS Institute of Medicinal Chemistry, Bayer AG, Pharma Research Centre, Wuppertal, D-42096, Germany
- SO Bioorganic & Medicinal Chemistry (2002), 10(9), 3075 CODEN: BMECEP; ISSN: 0968-0896
- PB Elsevier Science Ltd.
- DT Journal
- LA English
- AB On page 1711 and in the graphical abstract the second author's name should read Jordi Benet-Buchholz instead of Jordi Benet-Buckholz.
- IT 304874-07-5P 370879-47-3P 428854-24-4P

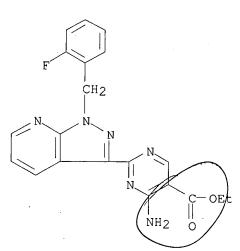
457914-32**-**8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(metabolites of orally active NO-independent pyrazolopyridine stimulators of soluble quanylate cyclase (Erratum))

RN 304874-07-5 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-amino-2-[1-[(2-fluorophenyl)methyl]-1H-pyrazolo[3,4-b]pyridin-3-yl]-, ethyl ester (9CI) (CA INDEX NAME)





RN 370879-47-3 CAPLUS

CN 4,5,6-Pyrimidinetriamine, N5-[2-[[(1,1-dimethylethyl)dimethylsilyl]oxy]eth yl]-2-[1-[(2-fluorophenyl)methyl]-1H-pyrazolo[3,4-b]pyridin-3-yl]- (9CI) (CA INDEX NAME)

RN 428854-24-4 CAPLUS

CN 4,5,6-Pyrimidinetriamine, 2-[1-[(2-fluorophenyl)methyl]-1H-pyrazolo[3,4-b]pyridin-3-yl]- (9CI) (CA INDEX NAME)

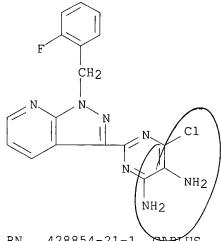
RN 457914-32-8 CAPLUS

CN Ethanol, 2-[[4,6-diamino-2-[1-[(2-fluorophenyl)methyl]-1H-pyrazolo[3,4-b]pyridin-3-yl]-5-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)

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L9
      ANSWER 7 OF 14 CAPLUS COPYRIGHT 2007 ACS on STN
AN
      2002:391284 CAPLUS
DN
      136:401773
TΙ
      Preparation of pyrimidinylsulfonamide-substituted pyrazolopyridines as
      inhibitors of cGMP degradation
ΙN
      Stasch, Johannes-Peter; Feurer, Achim; Weigand, Stefan; Stahl, Elke;
      Flubacher, Dietmar; Alonso-Alija, Cristina; Wunder, Frank; Lang, Dieter;
      Dembowsky, Klaus; Straub, Alexander; Perzborn, Elisabeth
PΑ
      Bayer AG, Germany
SO
      Ger. Offen., 22 pp.
      CODEN: GWXXBX
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LA
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FAN.CNT 1
      PATENT NO.
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                              В1
                                     20061018
               AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
               IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
      JP 2004517828
                              T
                                     20040617
                                                 JP 2002-544436
                                                                             20011112
      US 2004067937
                              A1
                                     20040408
                                                  US 2003-432572
                                                                             20031023
      US 7115599
                              B2
                                     20061003
PRAI DE 2000-10057754
                              Α
                                     20001122
      WO 2001-EP13064
                              W
                                     20011112
OS
     MARPAT 136:401773
AΒ
      Title compds. [I; R1 = H, C1, amino; R2R3 together with the connected
     heteroatoms = (substituted) (N-, O-, S-interrupted) 5-7 membered heterocyclyl], were prepared Thus, 6-amino-5-(1,1-dioxido-2-
      isothiazolidinyl)-2-[1-(2-fluorobenzyl)-1H-pyrazolo[3,4-b]pyridin-3-yl]-4-
     pyrimidinol (preparation given) was stirred with POCl2Ph for 2 h at 160°
      to give 60% 6-chloro-5-(1,1-dioxido-2-isothiazolidinyl)-2-[1-(2-
      fluorobenzyl)-1H-pyrazolo[3,4-b]pyridin-3-yl]-4-pyrimidinamine.
      latter showed the vessel relaxation effect with IC50 = 290 nM.
IT
      428854-17-5P 428854-19-7P 428854-21-1P
      428854-24-4P
     RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
      (Reactant or reagent)
         (preparation of pyrimidinylsulfonamide-substituted pyrazolopyridines as
         inhibitors of cGMP degradation)
RN
      428854-17-5 CAPLUS
CN
      4(1H)-Pyrimidinone, 5,6-diamino-2-[1-[(2-fluorophenyl)methyl]-1H-
     pyrazolo[3,4-b]pyridin-3-yl]- (9CI) (CA INDEX NAME)
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RN 428854-19-7 CAPLUS

4,5-Pyrimidinediamine, 6-chloro-2-[1-[(2-fluorophenyl)methyl]-1H-pyrazolo[3,4-b]pyridin-3-yl]- (9CI) (CA INDEX NAME) CN



RN 428854-21-1

4,5-Pyrimidinediamine, 2-[1-[(2-fluorophenyl)methyl]-1H-pyrazolo[3,4-b]pyridin-3-yl]- (9CI) (CA INDEX NAME) CN

RN

428854-24-4 CAPLUS 4,5,6-Pyrimidinetriamine, 2-[1-[(2-fluorophenyl)methyl]-1H-pyrazolo[3,4-b]pyridin-3-yl]- (9CI) (CA INDEX NAME) CN

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10/521,540
L9
    ANSWER 8 OF 14 CAPLUS COPYRIGHT 2007 ACS on STN
ΑN
    2002:391283 CAPLUS
DN
     136:401772
TI
     Preparation of pyrimidinyl carbamate-substituted pyrazolopyridines as
     inhibitors of cGMP degradation
    Stasch, Johannes-Peter; Feurer, Achim; Weigand, Stefan; Stahl, Elke;
ΙN
    Flubacher, Dietmar; Alonso-Alija, Cristina; Wunder, Frank; Lang, Dieter;
    Dembowsky, Klaus; Straub, Alexander; Perzborn, Elisabeth
PΑ
    Bayer AG, Germany
SO
    Ger. Offen., 30 pp.
    CODEN: GWXXBX
DT
    Patent
LA
    German
FAN.CNT 1
    PATENT NO.
                        KIND
                               DATE
                                          APPLICATION NO.
                                                                DATE
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PΙ
    DE 10057751
                        A1
                               20020523
                                         DE 2000-10057751
                                                                20001122
    CA 2429309
                        A1
                               20020530
                                         CA 2001-2429309
                                                                20011109
    WO 2002042300
                        A1
                               20020530
                                        WO 2001-EP12966
                                                                20011109
            PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA,
            UG, US, UZ, VN, YU, ZA, ZW
        RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
            DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
            BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
    AU 2002016028
                        Α5
                               20020603
                                          AU 2002-16028
                                                                20011109
    EP 1339717
                        Α1
                                          EP 2001-997488
                               20030903
                                                                20011109
   EP 1339717
                        В1
                               20050209
            AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
            IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
    JP 2004517827
                        \mathbf{T}
                              20040617
                                          JP 2002-544434
                                                                20011109
    ES 2236360
                        Т3
                              20050716
                                          ES 2001-1997488
                                                                20011109
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OS CASREACT 136:401772; MARPAT 136:401772

A1

B2

A1

A

W

Α1

AB Title compds. [I; R1 = H, dialkylaminocarbonyl; R2 = OCXNR3R4; X = O, S; R3, R4 = H, (substituted) alkyl, alkoxyalkyl, hydroxyalkyl, alkenyl, etc.; NR3R4 = (substituted) (benzannelated) 5-7 membered heterocyclyl containing an addnl. heteroatom] were prepared Thus, 4-amino-2-[1-(2-fluorobenzyl)-1H-pyrazolo[3,4-b]pyridin-3-yl]-5-pyrimidinol (preparation given) in THF was treated with NaH at room temperature, followed by stirring for 30 min at room temperature and addition of 1-pyrrolidinecarbonyl chloride, to give, after stirring

US 2003-432571

US 2005-192961

20031023

20050729

20040429

20060912

20051124

20001122

20011109

20031023

overnight at room temperature, 78.2% 4-amino-2-[1-(2-fluorobenzyl)-1H-pyrazolo[3,4-b]pyridin-3-yl]-5-pyrimidinyl 1-pyrrolidinecarboxylate. Several I showed a vessel relaxation effect with IC50 = 0.27-0.65 μ M. 426814-01-9P 426814-06-4P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of pyrimidinyl carbamate-substituted pyrazolopyridines as inhibitors of cGMP degradation)

RN 426814-01-9 CAPLUS

IT

US 2004082596

US 2005261323

WO 2001-EP12966

US 2003-432571

US 7105523

PRAI DE 2000-10057751

Carbamothioic acid, diethyl-, O-[4-amino-2-[1-[(2-fluorophenyl)methyl]-1H-CN pyrazolo[3,4-b]pyridin-3-yl]-5-pyrimidinyl] ester (9CI) (CA INDEX NAME)

RN 426814-06-4

CN Carbamothioic acid, dimethyl-, O-[4-amino-2-[1-[(2-fluorophenyl)methyl]-1Hpyrazolo[3,4-b]pyridin-3-yl]-5-pyrimidinyl] ester (9CI) (CA INDEX NAME)

ΙT 344773-45-1P 426813-76-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of pyrimidinyl carbamate-substituted pyrazolopyridines as inhibitors of cGMP degradation)

RN 344773-45-1 CAPLUS

CN 4-Pyrimidinamine, 2-[1-[(2-fluorophenyl)methyl]-1H-pyrazolo[3,4-b]pyridin-3-yl]-5-methoxy- (9CI) (CA INDEX NAME)

RN 426813-76-5 CAPLUS

CN 5-Pyrimidinol, 4-amino-2-[1-[(2-fluorophenyl)methyl]-1H-pyrazolo[3,4-b]pyridin-3-yl]- (9CI) (CA INDEX NAME)

10/521,540

- L9 ANSWER 9 OF 14 CAPLUS COPYRIGHT 2007 ACS on STN
- AN 2002:251260 CAPLUS
- DN 137:226160
- TI Metabolites of Orally Active NO-Independent Pyrazolopyridine Stimulators of Soluble Guanylate Cyclase
- AU Straub, Alexander; Benet-Buckholz, Jordi; Frode, Rita; Kern, Armin; Kohlsdorfer, Christian; Schmitt, Peter; Schwarz, Thomas; Siefert, Hans-Martin; Stasch, Johannes-Peter
- CS Institute of Medicinal Chemistry, Bayer AG, Pharma Research Centre, Wuppertal, D-42096, Germany
- SO Bioorganic & Medicinal Chemistry (2002), 10(6), 1711-1717 CODEN: BMECEP; ISSN: 0968-0896
- PB Elsevier Science Ltd.
- DT Journal
- LA English
- AB The pyrazolopyridine stimulators of soluble guanylate cyclase BAY 41-2272 and 41-8543 were oxidised in rats and dogs at their 5-pyrimidinyl-cyclopropyl and -morpholino residue. These metabolites activate the soluble guanylate cyclase, induce vasoelaxation and thereby may contribute to the in vivo activity of BAY 41-2272 and BAY 41-8543.
- IT 304874-07-5P 370879-47-3P 428854-24-4P 457914-32-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(metabolites of orally active NO-independent pyrazolopyridine stimulators of soluble guanylate cyclase)

RN 304874-07-5 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-amino-2-[1-[(2-fluorophenyl)methyl]-1H-pyrazolo[3,4-b]pyridin-3-yl]-, ethyl ester (9CI) (CA INDEX NAME)



RN 370879-47-3 CAPLUS

CN 4,5,6-Pyrimidinetriamine, N5-[2-[[(1,1-dimethylethyl)dimethylsilyl]oxy]eth yl]-2-[1-[(2-fluorophenyl)methyl]-1H-pyrazolo[3,4-b]pyridin-3-yl]- (9CI) (CA INDEX NAME)

RN 428854-24-4 CAPLUS

CN 4,5,6-Pyrimidinetriamine, 2-[1-[(2-fluorophenyl)methyl]-1H-pyrazolo[3,4-b]pyridin-3-yl]- (9CI) (CA INDEX NAME)

RN 457914-32-8 CAPLUS

CN Ethanol, 2-[[4,6-diamino-2-[1-[(2-fluorophenyl)methyl]-1H-pyrazolo[3,4-b]pyridin-3-yl]-5-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)

RE.CNT 38 THERE ARE 38 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

10/521,540

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L9
     ANSWER 10 OF 14 CAPLUS COPYRIGHT 2007 ACS on STN
ΑN
     2001:795083 CAPLUS
DN
     135:344495
TΙ
     Preparation of 3-amino-5-[1-(2-fluorobenzyl)-1H-pyrazolo[3,4-b]pyridin-3-
     yl]-10-oxa-1,4,6,8-tetraazatricyclo[7.3.1.02,7]trideca-2,4,6-trien-13-ol
     as a stimulator of soluble quanylate cyclase.
     Straub, Alexander; Alonso-Alija, Cristina; Kern, Armin; Stasch,
IN
     Johannes-Peter; Dembowsky, Klaus
PΑ
     Bayer A.-G., Germany
SO
     Ger. Offen., 12 pp.
     CODEN: GWXXBX
DΤ
     Patent
LA
     German
FAN.CNT 1
     PATENT NO.
                         KIND
                                DATE
                                            APPLICATION NO.
                                                                    DATE
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                                _____
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PΙ
     DE 10021069
                         , A1
                                20011031
                                            DE 2000-10021069
                                                                   20000428
     WO 2001083490
                          Α1
                                20011108
                                            WO 2001-EP4418
                                                                   20010419
             AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM,
             HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS,
             LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO,
             RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ,
             VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
         RW: GH, GM, KE, LS; MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
             DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
             BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
PRAI DE 2000-10021069
                         Α
                                20000428
     Title compound (I) was prepared Thus, 1-(2-fluorobenzyl)-1H-pyrazolo[3,4-
     b]pyridine-3-carboxamidine (preparation given) in PhMe was stirred with NaOMe
     and phenylazomalononitrile overnight at 110° followed by
     hydrogenation with Raney Ni catalyst to give 59.3% 2-[1-(2-fluorobenzyl)-
     1H-pyrazolo[3,4-b]pyridin-3-yl]-4,5,6-pyrimidinetriamine trichloride, (2)
     reaction of the latter in with t-BuMe2SiOCH2CHO in MeOH, and (3) stirring
     of the resulting 2-[1-(2-fluorobenzyl)-1H-pyrazolo[3,4-b]pyridin-3-yl]-5-
     (2-tertbutyldimethylsilyloxyethyl)imino-4,6-pyrimidinediamine with (Bu)4NF
     in THF for 2 h at room temperature followed by treatment with glyoxal hydrate
to
     give 8.9\% I. I at 100~\mu\mathrm{M} activated soluble guanylate cyclase by a factor
     of 160 relative to the basal activity.
ΙT
     370879-46-2P 370879-47-3P
     RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
     (Reactant or reagent)
        (preparation of aminofluorobenzylpyrazolopyridinoxatetraazatricyclotridecatr
        ienol as a stimulator of soluble guanylate cyclase)
RN
     370879-46-2 CAPLUS
ĆN
     4,5,6-Pyrimidinetriamine, 2-[1-[(2-fluorophenyl)methyl]-1H-pyrazolo[3,4-
     b]pyridin-3-yl]-, trihydrochloride (9CI) (CA INDEX NAME)
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●3 HCl

RN 370879-47-3 CAPLUS

CN 4,5,6-Pyrimidinetriamine, N5-[2-[[(1,1-dimethylethyl)dimethylsilyl]oxy]eth yl]-2-[1-[(2-fluorophenyl)methyl]-1H-pyrazolo[3,4-b]pyridin-3-yl]- (9CI) (CA INDEX NAME)

10/521,540

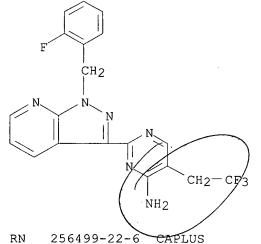
- L9 ANSWER 11 OF 14 CAPLUS COPYRIGHT 2007 ACS on STN
- AN 2001:207062 CAPLUS
- DN 135:40411
- TI NO-Independent stimulators of soluble guanylate cyclase
- AU Straub, A.; Stasch, J.-P.; Alonso-Alija, C.; Benet-Buchholz, J.; Ducke, B.; Feurer, A.; Furstner, C.
- CS Pharma Research Centre, Institute of Medicinal Chemistry, Bayer AG, Wuppertal, D-42096, Germany
- SO Bioorganic & Medicinal Chemistry Letters (2001), 11(6), 781-784 CODEN: BMCLE8; ISSN: 0960-894X
- PB Elsevier Science Ltd.
- DT Journal
- LA English
- AB SARs around a novel type of guanylate cyclase stimulator which act by a mechanism different from classical NO-donors are described. Several pyrazolopyridinylpyrimidines are shown to relax aortic rings and revealed a long-lasting blood pressure lowering effect in rats after oral application. The SARs around a novel type of stimulators of soluble guanylate cyclase, their relaxing effects on preconstricted rabbit aortic rings (measured as IC50s) and their hypotensive properties are described.
- Tings (measured as 1050s) and their 1256499-12-4 256499-22-6 344773-21-3 344773-26-8 344773-27-9 344773-28-0 344773-30-4 344773-32-6 344773-35-9 344773-45-1 344773-47-3 344773-50-8 344773-53-1 344773-56-4 344773-57-5

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(NO-independent stimulators of soluble guanylate cyclase)

RN 256499-12-4 CAPLUS

CN 4-Pyrimidinamine, 2-[1-[(2-fluorophenyl)methyl]-1H-pyrazolo[3,4-b]pyridin-3-yl]-5-(2,2,2-trifluoroethyl)- (9CI) (CA INDEX NAME)





CN 4-Pyrimidinamine, 5-ethyl-2-[1-[(2-fluorophenyl)methyl]-1H-pyrazolo[3,4-b]pyridin-3-yl]- (9CI) (CA INDEX NAME)

RN 344773-21-3 CAPLUS

CN 4-Pyrimidinamine, 2-[1-[(2-fluorophenyl)methyl]-1H-pyrazolo[3,4-b]pyridin-3-yl]- (9CI) (CA INDEX NAME)

RN 344773-26-8 CAPLUS

CN 4-Pyrimidinamine, 2-[1-[(2-fluorophenyl)methyl]-1H-pyrazolo[3,4-b]pyridin-3-yl]-5-methyl- (9CI) (CA INDEX NAME)

RN 344773-27-9 CAPLUS

CN 4-Pyrimidinamine, 2-[1-[(2-fluorophenyl)methyl]-1H-pyrazolo[3,4-b]pyridin-3-yl]-5-propyl- (9CI) (CA INDEX NAME)

RN 344773-28-0 CAPLUS

CN 4-Pyrimidinamine, 2-[1-[(2-fluorophenyl)methyl]-1H-pyrazolo[3,4-b]pyridin-3-yl]-5-(1-methylethyl)- (9CI) (CA INDEX NAME)

RN 344773-30-4 CAPLUS

CN 4-Pyrimidinamine, 5-butyl-2-[1-[(2-fluorophenyl)methyl]-1H-pyrazolo[3,4-b]pyridin-3-yl]- (9CI) (CA INDEX NAME)

RN 344773-32-6 CAPLUS

CN 4-Pyrimidinamine, 5-(1,1-dimethylethyl)-2-[1-[(2-fluorophenyl)methyl]-1H-pyrazolo[3,4-b]pyridin-3-yl]- (9CI) (CA INDEX NAME)

RN 344773-35-9 CAPLUS

CN 4-Pyrimidinamine, 2-[1-[(2-fluorophenyl)methyl]-1H-pyrazolo[3,4-b]pyridin-3-yl]-5-pentyl- (9CI) (CA INDEX NAME)

RN 344773-36-0 CAPLUS

CN 4-Pyrimidinamine, 2-[1-[(2-fluorophenyl)methyl]-1H-pyrazolo[3,4-b]pyridin-3-yl]-5-hexyl- (9CI) (CA INDEX NAME)

RN 344773-41-7 CAPLUS

CN 5-Pyrimidinecarbonitrile, 4-amino-2-[1-[(2-fluorophenyl)methyl]-1H-pyrazolo[3,4-b]pyridin-3-yl]- (9CI) (CA INDEX NAME)

RN 344773-45-1 CAPLUS

CN 4-Pyrimidinamine, 2-[1-[(2-fluorophenyl)methyl]-1H-pyrazolo[3,4-b]pyridin-3-yl]-5-methoxy- (9CI) (CA INDEX NAME)

RN 344773-47-3 CAPLUS

CN 4-Pyrimidinamine, 2-[1-[(2-fluorophenyl)methyl]-1H-pyrazolo[3,4-b]pyridin-3-yl]-5-(methylthio)- (9CI) (CA INDEX NAME)

RN 344773-50-8 CAPLUS

CN Phosphonic acid, [4-amino-2-[1-[(2-fluorophenyl)methyl]-1H-pyrazolo[3,4-b]pyridin-3-yl]-5-pyrimidinyl]-, diethyl ester (9CI) (CA INDEX NAME)

RN 344773-53-1 CAPLUS

CN 4-Pyrimidinamine, 5-fluoro-2-[1-[(2-fluorophenyl)methyl]-1H-pyrazolo[3,4-b]pyridin-3-yl]- (9CI) (CA INDEX NAME)

RN 344773-56-4 CAPLUS

CN 5-Pyrimidinemethanamine, 4-amino-2-[1-[(2-fluorophenyl)methyl]-1H-pyrazolo[3,4-b]pyridin-3-yl]- (9CI) (CA INDEX NAME)

RN 344773-57-5 CAPLUS

CN 4-Pyrimidinamine, 2-[1-[(2-fluorophenyl)methyl]-1H-pyrazolo[3,4-b]pyridin-3-yl]-6-methyl- (9CI) (CA INDEX NAME)

RE.CNT 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 12 OF 14 CAPLUS COPYRIGHT 2007 ACS on STN ΑN 2000:790500 CAPLUS DN 133:350132 Preparation of cyclopropylpyrimidazinylpyridinopyrazole derivative for TItreatment of cardiovascular diseases. Straub, Alexander; Feurer, Achim; Alonso-Alija, Cristina; Stahl, Elke; IN Stasch, Johannes-Peter; Perzborn, Elisabeth; Dembowsky, Klaus; Kern, Armin PΑ Bayer Aktiengesellschaft, Germany SO PCT Int. Appl., 23 pp. CODEN: PIXXD2

DT Patent LΑ German

FAN.CNT 1

L9

	PATENT NO.					KIND		DATE		APPLICATION NO.					DATE			
PI	WO	WO 2000066582			A1		20001109		WO 2000-EP3620					20000420				
		W:	ΑE,	ΑG,	AL,	AM,	AT,	ΑU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	CA,	CH,	CN,	CR,
								DZ,										
			ID,	IL,	IN,	IS,	JP,	KE,	KG,	ΚP,	KR,	ΚZ,	LC,	LK,	LR,	LS,	LT,	LU,
								MN,										
			SG,	SI,	SK,	SL,	ТJ,	TM,	TR,	TT,	ΤZ,	UA,	UG,	US,	UZ,	VN,	YU,	ZA,
			ZW,	AM,	ΑZ,	BY,	KG,	ΚZ,	MD,	RU,	ТJ,	TM						
		RW:	GH,	GM,	ΚE,	LS,	MW,	SD,	SL,	SZ,	TZ,	UG,	ZW,	AT,	BE,	CH,	CY,	DE,
								GR,										
								G₩,										
	DE 19920352			A1		20001109 DE 1999-19920352					19990504							
PRAI	I DE 1999-19920352				Α		1999	0504							•			

Α 19990504 The substituted pyrazole derivative (I) is claimed and well as its method of preparation and use in the treatment of cardiovascular diseases. Thus, I was prepared in a multistep process starting with Na salt of Et cyano-2-oxopropanoate and 2-fluorobenzylhydrazine.

ΙT 304874-07-5P

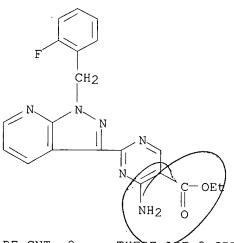
> RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and reactant for preparation of cyclopropylpyrimidazinylpyridinopyra

zole for treatment of cardiovascular diseases)

RN 304874-07-5 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-amino-2-[1-[(2-fluorophenyl)methyl]-1Hpyrazolo[3,4-b]pyridin-3-yl]-, ethyl ester (9CI) (CA INDEX NAME)



RE.CNT THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD

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L9
     ANSWER 13 OF 14 CAPLUS COPYRIGHT 2007 ACS on STN
ΑN
     2000:83168 CAPLUS
DN
     132:137398
ТΙ
     Preparation of (4-amino-5-ethylpyrimidin-2-yl)-1-(2-fluorobenzyl)-1H-
     pyrazolo[3,4-b]pyridine as cardiovascular drug
     Straub, Alexander; Feurer, Achim; Fuerstner-Robyr, Chantal; Alonso-Alija,
IN
     Cristina; Stasch, Johannes-Peter; Perzborn, Elisabeth; Huetter, Joachim;
     Dembowsky, Klaus; Stahl, Elke
PΑ
     Bayer A.-G., Germany
     Ger. Offen., 12 pp.
SO
     CODEN: GWXXBX
DT
     Patent
LA
     German
FAN.CNT 1
     PATENT NO.
                         KIND
                                DATE
                                            APPLICATION NO.
                                                                    DATE
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                                -----
                                            -----
PΙ
     DE 19834045
                          A1
                                20000203
                                            DE 1998-19834045
                                                                    19980729
     WO 2000006567
                          A1
                                20000210
                                            WO 1999-EP5071
                                                                    19990716
         W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ,
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             JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK,
             MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ,
             TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ,
             MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK,
             ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG,
             CI, CM, GA, GN,
                             GW, ML, MR, NE, SN, TD, TG
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                                                                   19990716
PRAI DE 1998-19834045
                          Α
                                19980729
     WO 1999-EP5071
                          W
                                19990716
     The title compound (I), useful for the treatment of cardiovascular diseases,
     thromboembolism and ischemia, was prepared by condensation reaction of
     amidine II (prepared and claimed) with enamine MeCH2C(CN):CHNMe2. II was
     obtained by dehydration reaction of the parent amide to a nitrile,
     conversion of the nitrile to imino ester with MeONa in MeOH, conversion of
     the imino ester to amidine-HCl with NH4Cl and AcOH in MeOH and
     basification of the salt with Na2CO3. The use of I as cardiovascular drug
     and pharmaceuticals containing I in combination with organic nitrates,
NO-donors
     and with compds. that inhibit degradation of cyclic guanosine monophosphate
     are also claimed.
IT
     256499-22-6P
     RL: BAC (Biological activity or effector, except adverse); BSU (Biological
     study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
     BIOL (Biological study); PREP (Preparation); USES (Uses)
        (preparation of (4-amino-5-ethylpyrimidin-2-yl)-1-(2-fluorobenzyl)-1H-
        pyrazolo[3,4-b]pyridine as cardiovascular drug)
RN
     256499-22-6 CAPLUS
CN
     4-Pyrimidinamine, 5-ethyl-2-[1-[(2-fluorophenyl)methyl]-1H-pyrazolo[3,4-
     b]pyridin-3-yl]- (9CI) (CA INDEX NAME)
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      ANSWER 14 OF 14 CAPLUS COPYRIGHT 2007 ACS on STN
ΑN
      2000:83167 CAPLUS
DN
      132:137382
TΙ
      Preparation of benzylpyrazolopyridines and related compounds as
      cardiovascular agents.
      Straub, Alexander; Feurer, Achim; Alonso-Alija, Cristina; Stasch,
ΙN
      Johannes-Peter; Perzborn, Elisabeth; Huetter, Joachim; Dembowsky, Klaus;
      Stahl, Elke
      Bayer A.-G., Germany
PΑ
      Ger. Offen., 36 pp.
      CODEN: GWXXBX
DT
      Patent
LA
      German
FAN.CNT 1
      PATENT NO.
                          KIND
                                  DATE
                                              APPLICATION NO.
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                                  20010122
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                                 20010411
     MARPAT 132:137382
OS
     Title compds.[I; R1 = saturated or aromatic 5-6 membered (substituted)
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heterocyclyl, etc.; R2R3 = atoms to form a 6-membered saturated or aromatic

(substituted) heterocyclyl; A = 5-6 membered aromatic or saturated

(substituted)

heterocyclyl, Ph], were prepared Thus, 1-(2-fluorobenzyl)-1H-pyrazolo[3,4-b] pyridine-3-carboxamidine (preparation given), 3-dimethylamino-2-methylsulfonyl-2-propenenitrile, piperidine, and isoamyl alc. were heated 12 h at 110° to give 31.8% 3-(4-amino-5-methylsulfonylpyrimidin-2-yl)-1-(2-fluorobenzyl)-1H-pyrazolo[3,4-b] pyridine. Tested I increased cGMP levels by 600% to >1000%.

IT 256498-65-4P 256498-68-7P 256498-89-2P 256499-09-9P 256499-10-2P 256499-12-4P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of benzylpyrazolopyridines and related compds. as cardiovascular agents)

RN 256498-65-4 CAPLUS CN 4.6-Pyrimidinediami

4,6-Pyrimidinediamine, 2-[1-[(2-fluorophenyl)methyl]-1H-pyrazolo[3,4-b]pyridin-3-yl]-5-(phenylmethyl)- (9CI) (CA INDEX NAME)

RN 256498-68-7 CAPLUS

CN 4,5,6-Pyrimidinetriamine, 2-[1-[(2-fluorophenyl)methyl]-1H-pyrazolo[3,4-b]pyridin-3-yl]-N5-(2-methoxyethyl)-N5-methyl- (9CI) (CA INDEX NAME)

RN 256498-89-2 CAPLUS

CN 4-Pyrimidinamine, N,5-diethyl-2-[1-[(2-fluorophenyl)methyl]-1H-pyrazolo[3,4-b]pyridin-3-yl]- (9CI) (CA INDEX NAME)

RN 256499-09-9 CAPLUS

CN Phosphonic acid, [4-amino-2-[1-[(2-fluorophenyl)methyl]-1H-pyrazolo[3,4-b]pyridin-3-yl]-5-pyrimidinyl]-, bis(1-methylethyl) ester (9CI) (CA INDEX NAME)

RN 256499-10-2 CAPLUS

CN 5-Pyrimidinecarboxamide, 4-amino-2-[1-[(2-fluorophenyl)methyl]-1H-pyrazolo[3,4-b]pyridin-3-yl]- (9CI) (CA INDEX NAME)

RN 256499-12-4 CAPLUS

CN 4-Pyrimidinamine, 2-[1-[(2-fluorophenyl)methyl]-1H-pyrazolo[3,4-b]pyridin-3-yl]-5-(2,2,2-trifluoroethyl)- (9CI) (CA INDEX NAME)

IT 256499-22-6

RL: RCT (Reactant); RACT (Reactant or reagent) (preparation of benzylpyrazolopyridines and related compds. as cardiovascular agents)

RN 256499-22-6 CAPLUS

CN 4-Pyrimidinamine, 5-ethyl-2-[1-[(2-fluorophenyl)methyl]-1H-pyrazolo[3,4-b]pyridin-3-yl]- (9CI) (CA INDEX NAME)

10/521,540

=> log y COST IN U.S. DOLLARS	SINCE FILE	TOTAL
FULL ESTIMATED COST	ENTRY 74.25	SESSION 297.13
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE	TOTAL
CA SUBSCRIBER PRICE	ENTRY -10.92	SESSION -10.92
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